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(71) Applicant (for all designated States except US): APPLIED RESEARCH SYSTEMS ARS HOLDING N.V. [NL/NL]; Pietermaai 15, Curaçao (AN).

(72) Inventors; and

(75) Inventors/Applicants (for US only): LOPEZ AREIZA, John, Jairo [CL/CH]; Chemin Isabelle de Montolieu 131, CH-1010 Lausanne (CH). RUECKLE, Thomas [DE/CH]; 9, chemin du Vieux Puits, CH-1228 Plan-les-Ouates (CH). SOTO-JARA, Claudio [CL/US]; University of Texas Medical Branch, Dept of Neurology, 301 University Blvd., Galveston, TX 77555 (US).

(74) Agent: RETZLER, C.; Serono International SA - Intellectual Property, 12, chemin des Aulx, CH-1228 Plan-les-Ouates (CH).

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(54) Title: AZA-PEPTIDES

(57) Abstract: Provided are peptides comprising at least one azaamino acid and having  $\beta$ -sheet breaking ability, useful in the treatment and prevention of diseases such as alzheimer's disease, Dementia Pugilistica (including head trauma), Hereditary Cerebral Haemorrhage with amyloidosis of the Dutch type (HCHWA-D) and Vascular Dementia with amyloid angiopathy.

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/EP 03/15033

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07K7/06 A61K38/04 C07C281/02 C07C281/06 C07D231/04  
A61K31/415 A61K31/175

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07K A61K C07C C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, EMBASE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	HAN H ET AL: "AZATIDES: SOLUTION AND LIQUID PHASE SYNTHESES OF A NEW PEPTIDOMIMETIC" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, DC, US, vol. 118, no. 11, 20 March 1996 (1996-03-20), pages 2539-2544, XP002046408 ISSN: 0002-7863 cited in the application Page 2540; Schemes 1 and 2 page 2540; figure 1 ----- -/-	1-20

 Further documents are listed in the continuation of box C. Patent family members are listed in annex.

° Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the International filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the International filing date but later than the priority date claimed

- "T" later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the International search

27 April 2004

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17.08.04

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Jenn, T

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/EP 03/15033

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 01/34631 A (AXONYX INC) 17 May 2001 (2001-05-17) cited in the application abstract; claim 14 page 12, line 11 - page 21, line 15 -----	1-20
A	US 5 948 763 A (BAUMANN MARC H ET AL) 7 September 1999 (1999-09-07) cited in the application abstract; claims 1-14 column 5, line 29 - line 41 -----	1-20
A	HETENYI C ET AL: "COMPUTATIONAL STUDIES ON THE BINDING OF BETA-SHEET BREAKER (BSB) PEPTIDES ON AMYLOID BETA(1-42)" JOURNAL OF MOLECULAR STRUCTURE (THEOCHEM), ELSEVIER SCIENCE PUBLISHERS B.V., AMSTERDAM, NL, vol. 542, 2001, pages 25-31, XP001146365 ISSN: 0166-1280 cited in the application abstract page 25, left-hand column, line 1 - right-hand column, line 7 page 28, right-hand column, line 15 - page 29, left-hand column, line 3 -----	1-20
A	GANTE J: "AZAPEPTIDES" SYNTHESIS, GEORG THIEME VERLAG, STUTTGART, DE, no. 6, 1 June 1989 (1989-06-01), pages 405-413, XP000035384 ISSN: 0039-7881 cited in the application the whole document -----	1-20

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/EP 03/15033

### Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
  
2.  Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
  
3.  Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

### Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
  
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
  
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
  
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-12, 13-19(part), 20

#### Remark on Protest

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

**FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210**

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-12 (all in full), 13-19 (all in part), 20 (full)

A compound of formula I as defined in claim 1;  
A pharmaceutical composition comprising it;  
The use of said compound for the manufacture of a medicament  
for the treatment or prevention of Alzheimer's disease,  
Dementia pugilistica, HCHWA-D, vascular dementia with  
amyloid angiopathy, or of a disease associated with abnormal  
protein folding into amyloid and amyloid-like deposits;  
A process for the preparation of said compound.

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2. claims: 13-19 (all in part)

A process for the preparation of an azapeptide different  
from the compound of formula I as defined in claim 1,  
comprising the step of reacting an aza-amino acid building  
block of formula II to form an aza-peptidoic bond.

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3. claims: 21-22 (all in full)

A synthetic aza-peptide building block having a formula  
selected from formulae III, IV, V and VI.

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**INTERNATIONAL SEARCH REPORT**

Information on patent family members

International Application No

PCT/EP 03/15033

Patent document cited in search report	Publication date		Patent family member(s)		Publication date
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